

(19)



Europäisches Patentamt

European Patent Office

Office européen des brevets

(11)

EP 1 121 927 A2



(12)

## EUROPEAN PATENT APPLICATION

(43) Date of publication:

08.08.2001 Bulletin 2001/32

(51) Int Cl.7: A61K 7/48

(21) Application number: 01400266.1

(22) Date of filing: 02.02.2001

(84) Designated Contracting States:

AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU  
MC NL PT SE TR

Designated Extension States:

AL LT LV MK RO SI

(30) Priority: 04.02.2000 US 498592

(71) Applicant: Takasago International Corporation  
Tokyo (JP)

(72) Inventors:

- Nakatsu, Tetsuo  
Chappaqua, NY 10514 (US)
- Mazeiko, Peter J.  
Middletown, NY 10940 (US)

- Lupo, Andrew T., Jr.  
Emerson, NJ 07630 (US)
- Green, Carter B.  
Stony Point, NY 10980 (US)
- Manley, Charles H.  
Ringwood, NJ 07456 (US)
- Spence, David J.  
Ridgefield Park, NJ 07660 (US)
- Ohta, Hideaki, c/o Takasago International Corp.  
Hiratsuka-shi, Kanagawa 254-0073 (US)

(74) Representative: Uchida, Kenji et al  
S.A. Fedit-Loriot et Autres Conseils en Propriété  
Industrielle,  
38, avenue Hoche  
75008 Paris (FR)

### (54) A composition causing different skin sensations

(57) The present invention is directed to a sensate composition including at least one cooling sensate, warming sensate and tingling sensate. The tingling sen-

sate is at least one of Jambu Oleoresin and Spilanthol. The present invention is further directed to a method of using the sensate composition in a food, pharmaceutical or personal care product.

EP 1 121 927 A2

## Description

[0001] The present invention relates to a composition imparting an initial sensation similar to tingling upon first contact. More specifically, the present invention is a composition including a cooling sensate, a warming sensate and a tingling-type sensate, which when used in combination, imparts an immediate initial sensation. The initial sensation can best be described as a tingling or a stinging impression which also enhances the sensation of the other sensates used in the composition. In addition, the composition of the present invention also helps moderate the harsh and stimulative effects of the cooling agents. This moderation of the harsh effects of cooling agents is referred to herein as an emollient effect.

[0002] Various types of products incorporate ingredients which impart some kind of sensation to the mucous membranes, oral cavity, throat or skin. These ingredients may be used as flavors or fragrances in a wide range of products such as personal care products (perfumes, deodorants, cosmetics, shampoos, skin creams, toothpastes and the like), pharmaceuticals (such as cough syrups, cough drops and the like) and foods (such as chewing gum, soda and the like).

[0003] For example,  $\ell$ -menthol and 3-( $\ell$ -menthoxy)propane-1,2-diol are used as active ingredients in products to impart a cooling sensation to the mouth or skin (U.S. Patent No. 4,459,425). However,  $\ell$ -menthol has the drawback of being very volatile as well as irritating to skin and mucous membranes. There is a limit to how much  $\ell$ -menthol can be used in a product to produce a cooling sensation, because when used in greater amounts the  $\ell$ -menthol becomes very harsh and irritating. Much research has been done to find alternatives to menthol as a cooling agent. In *New Compounds with the Menthol Cooling Effect*, J. Soc. Cosmet. Chem., 29: 185-200 (1978), by H.R. Watson et al., the physiological basis for the cooling effect of menthol is discussed. In addition, certain important molecular requirements were described that are believed to be necessary in order for a compound to have the desired effect. Several N-alkyl-carboxamide compounds were found to possess the cooling sensation of menthol while having the advantage of being less volatile. The pharmacology and toxicology of menthol use in various products and for various modes of administration has also been reported. See *Menthol and Related Cooling Compounds*, J. Pharm. Pharmacol., 46: 618-630 (1994), by R. Eccles.

[0004] Another alternative to menthol is 1(2'-hydroxyphenyl)-4-(3'-nitrophenyl)-1,2,3,5-tetrahydropyrimidine-2-one. This compound is discussed in *A Chemical Which Produces Sensations of Cold*, Environment, Drugs and Thermoregulation, 5<sup>th</sup> International Symp. Pharmacol. Thermoregulation, Saint-Paul-de-Vence, 1982, pp. 183-186 (Karger, Basel, 1983) by E.T. Wei.

[0005] Other known physiological cooling agents including peppermint oil, N-substituted-p-menthane-3-carboxamides, acyclic tertiary and secondary carboxamides, 3- $\ell$ -menthoxy propan-1,2-diol have also been reported (See PCT Published Application Number WO 97/06695).

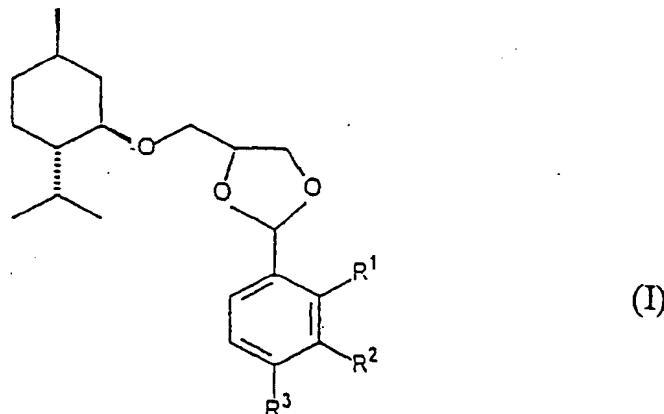
[0006] Heating and/or warming sensates are also known. Vanillyl alcohol n-butyl ether (vanillyl butyl ether) is known as an active ingredient in products to impart a sharp, tangy bite or a heating/warming sensation (Japanese Laid-Open Application No. 54-67040). A formulation for cough drops has been reported which includes a physiological cooling agent and a physiological warming agent (PCT Published Application No. 1WO 97/06695). Physiological cooling agents disclosed therein include peppermint oil, N-substituted-p-menthane-3-carboxamides, acyclic tertiary and secondary carboxamides, 3- $\ell$ -menthoxy propan-1,2-diol. Physiological warming agents disclosed therein include vanillyl alcohol n-butyl ether, vanillyl alcohol n-propyl ether, vanillyl alcohol isopropyl ether, vanillyl alcohol isobutyl ether, vanillyl alcohol n-amino ether, vanillyl alcohol isoamyl ether, vanillyl alcohol n-hexyl ether, vanillyl alcohol methyl ether, vanillyl alcohol ethyl ether, gingerol, shogaol, paradol, zingerone, capsaicin, dihydrocapsaicin, nordihydrocapsaicin, homocapsaicin, homodihydrocapsaicin, ethanol, iso-propyl alcohol, iso-amylalcohol, benzyl alcohol, chloroform, eugenol, cinnamon oil, cinnamic aldehyde and phosphate derivatives of same.

[0007] A compound that possesses a hot, burning and tingling taste that is long lasting has been reported as 4-( $\ell$ -menthoxyethyl)-2-phenyl-1,3-dioxolane or its derivatives represented by the following general formula (I):

5

10

15



wherein R<sup>1</sup> represents a hydrogen atom, a hydroxy group or a lower alkoxy group, R<sup>2</sup> and R<sup>3</sup>, which may be the same or different, each represent a hydrogen atom, a hydroxy group, a lower alkoxy group, or when taken together, R<sup>2</sup> and R<sup>3</sup> represent a methylene dioxy group. See U.S. Patent No. 5,545,424 which is herein incorporated by reference. This warming sensate was also reported to prolong the sensations of certain cooling sensates, for example in combination with 1-menthol, 3-(*l*-menthoxy)propan-1,2-diol («TK-10» by Takasago International Corp., Tokyo, Japan) or isopulegol. The combination of the cooling and warming sensates signaled prolonged cooling effects to the user. Thus, the burning, tingling or bitter sensations associated with this warming sensate were able to convey to the user a better appreciation of the cooling sensate.

[0008] In addition, vanillyl alcohol n-butyl ether (vanillyl butyl ether) is known as an active ingredient in products to impart a sharp, tangy bite or a heating/warming sensation (Japanese Laid-Open Application No. 54-67040 and Examined Japanese Patent Application No. 61-9293).

[0009] Certain materials are known to cause a tingling, numbing and/or stinging sensation and are used in foods as popular spice and/or herb condiments. These include Jambu Oleoresin or para cress (*Spilanthes sp.*) the active ingredient being Spiranthol; Japanese pepper extract (*Zanthoxylum piperitum*) having the active ingredient(s) known as Saanshool-I, Saanshool-II and Sanshoamide; Black pepper extract (*Piper nigrum*) having the active ingredients Chavicine and Piperine.

[0010] It is also known to combine compounds known to possess flavor and/or sensate compounds to produce new active ingredients having altered properties. For example, PCT published application WO 98/47482 discloses formulations for cough drops which include a physiological cooling agent (such as menthol, peppermint oil, n-N-substituted p-menthane-3-carboxamides, acyclic tertiary and secondary carboxamides, 3-(*l*-menthoxy)propan-1,2-diol and a physiological warming agent (such as vanillyl alcohol n-butyl ether, vanillyl alcohol n-propyl ether, vanillyl alcohol isopropyl ether, vanillyl alcohol isobutyl ether, vanillyl alcohol n-amino ether, vanillyl alcohol isoamyl ether, vanillyl alcohol n-hexyl ether vanillyl alcohol methyl ether, vanillyl alcohol ethyl ether, gingerol, shogaol, paradol, zingerone, capsaicin, dihydrocapsaicin, nordihydrocapsaicin, homocapsaicin, homodihydro-capsaicin, ethanol, iso-propyl alcohol, iso-amyl alcohol, benzyl alcohol, chloroform, eugenol, cinnamon oil, cinnamic aldehyde and phosphate derivatives of same.

[0011] Use of vanillyl butyl ether in combination with a cooling agent is disclosed in co-pending application entitled «COOL FEELING COMPOSITION» filed on or about August 4, 1999 by one or more of the inventors of the present invention. The composition disclosed therein imparts a refreshing sensation in various consumer products.

[0012] The known cooling, warming and combination sensate compounds tend to have a lag time between first contact and when the sensate is first detected. It is often seconds before the sensation is actually perceived by the user. In addition, the cooling and warming sensate compounds, and combinations thereof that are known to date, do not last very long. It is often only a few seconds or minutes before the sensation wanes. It is desirable to have a cooling, warming or combination sensate compound that is perceived by the user immediately upon first contact with the user. It is also desirable for the perceived sensation to last for a greater duration of time than just the first few seconds or so.

[0013] It is an object of the present invention to provide a taste and touch sensate that overcomes the limitations of the prior art.

[0014] It is an object of the present invention to provide a sensate compound that provide a strong initial signal to the user.

[0015] It is a further object of the present invention to provide a sensate compound that provides a tingling and/or stinging impression upon contact.

[0016] It is a further object of the present invention to provide a sensate compound that provides lasting sensation

beyond first contact.

[0017] It is a further object of the present invention to provide a sensate compound that provides an emollient effect on one or more stimulative co-ingredients.

[0018] After extensive research, the inventors of the present invention have discovered that combining cooling sensates with warming sensates and a tingling sensate (such as Jambu oleoresin or Spilanthol), results in enhancement of the flavor and/or sensation of the cooling and/or warming sensates. In addition, this combination has been shown to initiate perception of the flavor of the sensates in a shorter period of time than occurs when either the cooling sensate, the warming sensate, or a combination of the two are used without the tingling sensate.

[0019] Briefly stated, the present invention relates to a sensate composition including at least one cooling sensate, at least one warming sensate and at least one tingling sensate.

[0020] To this end, there is provided a sensate composition, comprising:

a cooling sensate, wherein the cooling sensate imparts at least one of the sensations selected from the group consisting of cold, cooling, chilly and fresh, when present on skin, mucous membranes, mouth or throat;

a warming sensate, wherein the warming sensate imparts at least one of the sensations selected from the group consisting of heat, warming, burning, scorching, sizzling, baking and searing when present on skin, mucous membranes, mouth or throat; and

a tingling sensate, wherein the tingling sensate imparts at least one of the sensations selected from the group consisting of tingling, tickly, itchy, scratchy pungent and stinging when present on skin, mucous membranes, mouth or throat.

[0021] Preferably, each of the cooling sensate, the warming sensate and the tingling sensate are from about 0.001% by weight to about 20% by weight of the sensate composition.

[0022] Preferably yet, each of the cooling sensate, the warming sensate and the tingling sensate are from about 0.01 % by weight to about 15 % by weight of the sensate composition.

[0023] Preferably further, each of the cooling sensate, the warming sensate and the tingling sensate are from about 0.01 % by weight to about 12 % by weight of said sensate composition.

[0024] Typically, the cooling sensate is at least one of menthol, isopulegole, 3-(*l*-menthoxy)propan-1,2-diol, p-men-than-3,8-diol, 6-isopropyl-9-methyl-1,4-dioxaspiro-(4,5)-decane-2-methanol, menthyl succinate and alkaline earth salts thereof, trimethyl cyclohexanol, N-ethyl-2-isopropyl-5-methylcyclohexane carboxamide, 3-(*l*-menthoxy)-2-me-thyl-propan-1,2-diol, mint oil, peppermint oil, wintergreen, menthone, menthone glycerin ketal, menthyl lactate, (1'R, 2'S,5'R)-2-[5'methyl-2'-(methylethyl)cyclohexyloxy]ethan-1-ol, (1'R,2'S,5'R)-3-[5'methyl-2'-(methylethyl)cyclohexy-  
oxy]propan-1-ol, (1'R,2'S,5'R)-4-[5'methyl-2'-(methylethyl)cyclohexyloxy]butan-1-ol or spearmint.

[0025] Typically yet, the warming sensate is at least one of the group consisting of vanillyl ethyl ether, vanillyl propyl ether, vanillin propylene glycol acetal, ethyl vanillin propylene glycol acetal, capsaicin, gingerol, vanillyl butyl ether, 4-(*l*-menthoxyethyl)-2-phenyl-1,3-dioxolane, 4-(*l*-menthoxyethyl)-2-(3',4'-dihydroxyphenyl)-1,3-dioxolane, 4-(*l*-menthoxyethyl)-2-(2'-hydroxy-3'-methoxyphenyl)-1,3-dioxolane, 4-(*l*-menthoxyethyl)-2-(4'-methoxyphenyl)-1,3-dioxolane, 4-(*l*-menthoxyethyl)-2-(3',4'-methyleneoxyphenyl)-1,3-dioxolane, 4-(*l*-menthoxyethyl)-2-(3'-methoxy-4'-hydroxyphenyl)-1,3-dioxolane, hot pepper oil, capsicum oleoresin, ginger oleoresin and nonyl acid vanilly-lamide.

[0026] Typically further, the tingling compound is at least one of the group consisting of Jambu Oleoresin, Japanese pepper extract (*Zanthoxylum peperitum*), saanshool-I, saanshool II, sanshoamide, black pepper extract (*Piper nigrum*), chavicine, piperine and Spilanthol.

[0027] Further in the present invention, the cooling sensate may be from about 0.01 % by weight to about 20 % by weight of the composition, independently from the other sensate compounds involved.

[0028] Likewise, the warming sensate may be from about 0.01 % by weight to about 20 % by weight of the composition.

[0029] Likewise yet, the tingling sensate may be from about 0.01 % by weight to about 20 % by weight of the composition.

[0030] There is also provided a method of using a sensate composition as at least one of a fragrance or a flavor, comprising:

forming a sensate composition having at least one cooling sensate, at least one warming sensate and at least one tingling sensate containing effective amounts of the sensates; and

admixing the sensate composition with a suitable carrier.

[0031] The method may further comprise admixing the composition with appropriate adjunct ingredients to form a product, whereby the product is effective to act as at least one of a personal care product, a food and a pharmaceutical.

[0032] The above personal care product may be selected from the group consisting of a soap, a deodorant, a anti-perspirant, a skin lotion, a skin cream, a moisturizer and an ointment.

[0033] Likewise, the above food may be selected from the group consisting of a candy, a lozenge, a confectionary, a chewing gum, a mint, a chocolate, a cake, a cookie, a beverage, an alcoholic beverage, a seasoning, a salad dressing, and a dip.

[0034] Further, the above pharmaceutical may be selected from the group consisting of a topical medicine, a nebulizer, a medicated lozenge and a chewable medicine.

[0035] In an embodiment of the present invention, a method of using a sensate composition as at least one of a fragrance and a flavor is provided, which includes forming a sensate composition having at least one cooling sensate, at least one warming sensate and at least one tingling sensate containing effective amounts of the sensates and admixing the sensate composition with a suitable carrier.

[0036] The above, and other objects, features and advantages of the present invention will become apparent from the following description. However, these examples are not to be construed to limit the scope of the invention.

[0037] As described above,  $\ell$ -menthol, 3-( $\ell$ -menthoxy)propan-1,2-diol and other compounds are known cooling agents. In addition, vanillyl butyl ether is known as a warming sensate. Jambu oleoresin is an extract used to impart tingling flavor in foods.

[0038] In the new sensate of the present invention, vanillyl butyl ether is combined with a cooling sensate and a warming sensate to impart an immediate sensation upon contact that also provides an emollient effect on the cooling sensate. The cooling sensate can be a single cooling sensate or a combination of different cooling sensates. The warming sensate can be a single such sensate or a combination thereof.

[0039] There are no specific limitations to the relative amounts of the compounds of the composition. However, it is preferred that vanillyl butyl ether is used in a relative amount with respect to the cooling agent so that no discernable warming effect occurs. Preferably, vanillyl butyl ether is used on a weight basis, from 1/1000 to 2 times as much as the cooling agent. More preferably, the vanillyl butyl ether is present in the composition from 1/200 to 1 time the amount of the cooling agent on a weight basis.

[0040] The new sensate composition of the present invention may further contain diluents (ethanol, purified water, etc.) which are safe for use in products used for consumption and/or topical use. The new sensate composition of the present invention can be used in various products to which the qualities of the sensate are desirable. Examples of suitable products include: cosmetics (such as lipstick, after shave lotions, foundation and the like), personal care products (such as skin creams, astringent lotions, cleansing lotions, deodorants, shampoos, conditioners, soaps, hair gels, hair tonics, hair growth stimulants, shaving foams, shaving creams, bubbling bath beads and the like) and pharmaceutical compositions (such as insect repellent sprays, hair tonics, analgesic preparations, lozenges and the like). These are set forth as examples, however the products in which the composition of the present invention may be used are not limited to these.

[0041] The amount of the sensate composition of the present invention in a product varies widely depending on the amount of the product used at one time and the manner in which it is used or applied. In general, the content of the sensate composition may be from 0.001 to 20 % by weight, preferably from 0.01 to 15 % by weight of the entire product composition. However, the sensate composition may be added to a product in any amount, as long as the effect of the composition is present. The sensate composition may be made first, then added to a product. Alternatively, the cooling agent, warming agent and tingling agent may be added separately to the product.

[0042] The present invention will be described in greater detail by reference to the following Embodiments and Comparative Examples, however, it should be noted the invention is not limited to these examples.

#### Embodiment 1 (E1)

[0043] Embodiment 1 was prepared by mixing N-ethyl-2-isopropyl-5-methylcyclohexane carboxamide (3.0 wt %) and isopulegole (8.0 wt %) as cooling agents, vanillyl butyl ether (3.0 wt %) as a warming agent and Jambu Oleoresin (2.5 wt %) as a tingling agent with other ingredients according to the following formulation to produce a mouthwash. These ingredients are prepared according to methods that are known in the art.

Ingredient	% by weight in flavors
ethyl alcohol	55.0
propylene glycol	28.0
N-ethyl-2-isopropyl-5-methylcyclohexane carboxamide	3.0
isopulegole	8.0

(continued)

Ingredient	% by weight in flavors
Jambu Oleoresin	2.5
vanillyl butyl ether	3.0
mouthwash herbal flavor base	0.5

5 [0044] A sensory evaluation was performed on the mouthwash of Embodiment 1. Eight members of a panel trained as Flavorists evaluated the products. They found that the blend produced a unique flavor and taste profile. Members of the panel reported a tingling sensation upon first contact with the mouthwash. No delay in perceived sensation was reported.

10 **Comparative Example 1 (CE1)**

15 [0045] Comparative Example 1 was made in the same manner as Embodiment 1, except that Jambu Oleoresin was omitted.

20 [0046] A taste panel was convened to evaluate any perceived differences in character between the mouthwash of Embodiment 1 and Comparative Example 1. Panelists were asked to compare the flavor sensation of the two products and comment on any differences.

25 [0047] The majority of the panelists noted that there was a distinct difference in warming sensation perception and onset. The coded sample containing the Jambu Oleoresin was described as having a fuller warming, tingling effect as compared to the Jambu free system which was less complex and less stimulating with an almost retarded onset of the cooling perception. There was a noted synergistic effect between the ingredients. The profiles were described as a significant tingling and an enhancement of the cooling and warming perception of the product. The study showed that all three components, cooling, heating and tingling are necessary to produce the observed unique effect.

**Embodiment 2 (E2)**

30 [0048] Embodiment 2 was prepared by mixing 3-(*l*-menthoxy)propan-1,2-diol («TK-10» from Takasago, Takasago International Corp., Tokyo, Japan) as a cooling agent (2.0 wt %), capiscum oleoresin (0.5 wt %) and ginger oleoresin (2.0 wt %) as warning agents and Jambu Oleoresin (10 wt %) as a tingling agent with other ingredients according to the following formulation to make a toothpaste according to methods that are known in the art.

Ingredient	% by weight
ethanol	51.5
Benzyl alcohol	34.0
Jambu Oleoresin	10.0
Ginger Oleoresin	2.0
Capsicum Oleoresin	0.5
3-( <i>l</i> -menthoxy)propan-1,2-diol («TK-10»)	2.0

**Comparative Example 2 (CE2)**

40 [0049] Comparative Example 2 was prepared in the same manner as Embodiment 2, except Jambu Oleoresin was omitted.

45 [0050] A select taste panel evaluated the perceived differences in character between the toothpaste preparation of Embodiment 2 and Comparative Example 2. Panelists were asked to compare the flavor sensation of the two products and comment on any differences. Evaluations were performed blind.

50 [0051] The majority of the panelists noted that the sample containing the tingling sensate material had quicker tingling sensation onset and an enhanced, prolonged cool, tingling, pleasant aftertaste. Panelists for the most part perceived Comparative Example 2 to be pleasant but lacking in the robustness and impact of Embodiment 2.

Embodiment 3 (E3)

[0052] Embodiment 3 was prepared by mixing menthol (1.0 wt %) as a cooling agent, 4-( $\ell$ -menthoxymethyl)-2-(3'-methoxy-4'-hydroxyphenyl)-1,3-dioxolane (4.0 wt %), ginger oleoresin (3.1 wt %), vanillyl butyl ether (7.5 wt %) and capsicum oleoresin (0.1 wt %) as warming agents, and Jambu Oleoresin ((3.0 wt %) as a tingling agent, with other ingredients according to the following candy formulation which was prepared in accord with methods well known in the art.

	Ingredient	% by weight
10	medium chain triglycerides	81.3
	vanillyl butyl ether	7.5
15	ginger oleoresin	3.1
	capsicum oleoresin	0.1
	4-( $\ell$ -menthoxymethyl)-2-(3'-methoxy-4'-hydroxyphenyl)-1,3-dioxolane	4.0
20	menthol	1.0
	Jambu Oleoresin	3.0

Comparative Example 3 (CE3)

[0053] Comparative Example 3 was prepared in the same manner as Embodiment 3, except no Jambu Oleoresin was used.

[0054] A panel group was convened to evaluate Embodiment 3 and Comparative Example 3 in random blind fashion and comment on any noted differences. Eight members of a panel trained as Flavorists evaluated the product. Members of the panel reported a tingling sensation upon first contact with the candy. No delay in perceived sensation was reported. Analysis of panelists comments showed a marked enhancement of the warming sensation was realized in Embodiment 3 as compared to Comparative Example 3. The onset of the flavor was more pronounced in Embodiment 3 than in Comparative Example 3. Panelists observed Comparative Example 3 seemed to be less bright and slower to exhibit any unique sensations.

Embodiment 4 (E4)

[0055] Embodiment 4 was prepared by mixing menthol (0.5 wt %) and 3-( $\ell$ -menthoxy)propan-1,2-diol (0.5 wt %) as cooling agents, vanillyl butyl ether (0.05 wt %) as a warming agent and Jambu oleoresin (0.5 wt %) as a tingling agent, with other ingredients according to the following formulation. A cosmetic cologne or other similar product may be prepared from this formulation by admixture with known ingredients in accord with formulations well known in the art.

Comparative Example 4 (CE4)

[0056] Comparative Example 4 was made in the same fashion as Embodiment 4, except that Jambu Oleoresin was omitted.

Comparative Example 5 (CE5)

[0057] Comparative Example 5 was made in the same fashion as Embodiment 4, except that vanillyl butyl ether was omitted.

	Ingredient	Amount (% by weight)		
		E 4	CE 4	CE 5
50	menthol	0.50	0.50	0.50
55	3-( $\ell$ -menthoxy)propan-1,2-diol	0.50	0.50	0.50
	Vanillyl butyl ether	0.05	0.05	----

(continued)

Ingredient	Amount (% by weight)		
	E 4	CE 4	CE 5
Jambu extract (10% solution)	0.50	----	0.50
Ethanol (50% solution)	98.45	98.95	98.50

[0058] A formal panel evaluated Embodiment 4 and Comparative Examples 4 and 5 according to the following protocol. 0.1 ml of the composition was placed on a patch cloth and applied to the forearm of each of the panelists. The sensate compositions were evaluated for their relative performance in the following categories: cooling sensate, stimulation, emollient and comfort/preference. The results are reported in Table 1.

Table 1

Panelist (A-C) and Time Course	Cooling Sensate	Stimulation	Emollient	Comfort/Preference
0 minutes				
A	CE4 > E4 > CE5	CE4 > E4 > CE5	CE5 > E4 > CE4	E4 > CE5 = CE4
B	E4 = CE4 > CE5	CE4 > E4 > CE5	CE5 = E4 > CE4	E4 > CE5 > CE4
C	E4 = CE4 > CE5	CE4 > E4 > CE5	CE5 > E4 > CE4	E4 > CE4 > CE5
5 minutes				
A	CE4 > E4 > CE5	CE4 > E4 > CE5	CE5 > E4 > CE4	E4 > CE4 > CE5
B	E4 = CE4 > CE5	CE4 > E4 > CE5	CE5 = E4 > CE4	E4 > CE5 > CE4
C	E4 = CE4 > CE5	CE4 > E4 > CE5	CE5 > E4 > CE4	E4 > CE4 > CE5
10 minutes				
A	CE4 > E4 > CE5	CE4 > E4 > CE5	CE5 > E4 > CE4	E4 > CE5 = CE4
B	CE4 > E4 > CE5	CE4 > E4 > CE5	CE5 = E4 > CE4	E4 > CE4 > CE5
C	E4 > CE4 = CE5	CE4 > E4 > CE5	CE5 = E4 > CE4	E4 > CE4 = CE5

[0059] The results showed that the addition of Jambu Oleoresin increased the emollient effect on menthol and vanillyl butyl ether without losing cooling effect. Almost all panelists preferred Embodiment 4 over Comparative Examples 4 and 5.

40

### Claims

1. A sensate composition, comprising:

45

a cooling sensate, wherein said cooling sensate imparts at least one of the sensations selected from the group consisting of cold, cooling, chilly and fresh, when present on skin, mucous membranes, mouth or throat; a warming sensate, wherein said warming sensate imparts at least one of the sensations selected from the group consisting of heat, warming, burning, scorching, sizzling, baking and searing when present on skin, mucous membranes, mouth or throat; and

50

a tingling sensate, wherein said tingling sensate imparts at least one of the sensations selected from the group consisting of tingling, tickly, itchy, scratchy pungent and stinging when present on skin, mucous membranes, mouth or throat.

55

2. A sensate composition according to claim 1, wherein each of said cooling sensate, said warming sensate and said tingling sensate are from about 0.001% by weight to about 20% by weight of said sensate composition.

3. A sensate composition according to claim 1, wherein each of said cooling sensate, said warming sensate and said

tingling sensate are from about 0.01 % by weight to about 15 % by weight of said sensate composition.

4. A sensate composition according to claim 1, wherein each of said cooling sensate, said warming sensate and said tingling sensate are from about 0.01 % by weight to about 12 % by weight of said sensate composition.
5. The sensate composition according to any one of claims 1 to 4, wherein said cooling sensate is at least one of menthol, isopulegole, 3-( $\ell$ -menthoxy)propan-1,2-diol, p-menthan-3,8-diol, 6-isopropyl-9-methyl-1,4-dioxaspiro-(4,5)-decan-2-methanol, menthyl succinate and alkaline earth salts thereof, trimethyl cyclohexanol, N-ethyl-2-isopropyl-5-methylcyclohexane carboxamide, 3-( $\ell$ -menthoxy)-2-methyl-propan-1,2-diol, mint oil, peppermint oil, wintergreen, menthone, menthone glycerin ketal, menthyl lactate, (1'R,2'S,5'R)-2-[5'methyl-2'-(methylethyl)-cyclohexyloxy]ethan-1-ol, (1'R,2'S,5'R)-3-[5'methyl-2'-(methylethyl)-cyclohexyloxy]propan-1-ol, (1'R,2'S,5'R)-4-[5'methyl-2'-(methylethyl)-cyclohexyloxy]butan-1-ol or spearmint.
6. The sensate composition according to any one of claims 1 to 5, wherein said warming sensate is at least one of the group consisting of vanillyl ethyl ether, vanillyl propyl ether, vanillin propylene glycol acetal, ethyl vanillin propylene glycol acetal, capsaicin, gingerol, vanillyl butyl ether, 4-( $\ell$ -menthoxyethyl)-2-phenyl-1,3-dioxolane, 4-( $\ell$ -menthoxyethyl)-2-(3',4'-dihydroxyphenyl)-1,3-dioxolane, 4-( $\ell$ -menthoxyethyl)-2-(2'-hydroxy-3'-methoxyphenyl)-1,3-dioxolane, 4-( $\ell$ -menthoxyethyl)-2-(4'-methoxyphenyl)-1,3-dioxolane, 4-( $\ell$ -menthoxyethyl)-2-(3',4'-methylenedioxyphenyl)-1,3-dioxolane, 4-( $\ell$ -menthoxyethyl)-2-(3'-methoxy-4'-hydroxyphenyl)-1,3-dioxolane, hot pepper oil, capsicum oleoresin, ginger oleoresin and nonyl acid vanillylamide.
7. The sensate composition according to any one of claims 1 to 6, wherein said tingling compound is at least one of the group consisting of Jambu Oleoresin, Japanese pepper extract (*Zanthoxylum peperitum*), saanshool-I, saanshool-II, sanshoamide, black pepper extract (*Piper nigrum*), chavicine, piperine and Spilanthol.
8. The sensate composition according to claim 1, wherein said cooling sensate is from about 0.01 % by weight to about 20 % by weight of said composition.
9. The sensate composition according to claim 1, wherein said warming sensate is from about 0.01 % by weight to about 20 % by weight of said composition.
10. The sensate composition according to claim 1, wherein said tingling sensate is from about 0.01 % by weight to about 20 % by weight of said composition.
11. A method of using a sensate composition as defined in any one of claims 1 to 10 as at least one of a fragrance or a flavor, comprising:
  - 40 forming a sensate composition having at least one cooling sensate, at least one warming sensate and at least one tingling sensate containing effective amounts of said sensates; and admixing said sensate composition with a suitable carrier.
12. A method according to claim 11, further comprising admixing said composition with appropriate adjunct ingredients to form a product, whereby said product is effective to act as at least one of a personal care product, a food and a pharmaceutical.
13. A method according to claim 12, wherein said personal care product is selected from the group consisting of a soap, a deodorant, a antiperspirant, a skin lotion, a skin cream, a moisturizer and an ointment.
14. A method according to claim 12, wherein said food is selected from the group consisting of a candy, a lozenge, a confectionary, a chewing gum, a mint, a chocolate, a cake, a cookie, a beverage, an alcoholic beverage, a seasoning, a salad dressing, and a dip.
15. A method according to claim 12, wherein said pharmaceutical is selected from the group consisting of a topical medicine, a nebulizer, a medicated lozenge and a chewable medicine.

(19)



Europäisches Patentamt  
European Patent Office  
Office européen des brevets



(11)

EP 1 121 927 A3

(12)

## EUROPEAN PATENT APPLICATION

(88) Date of publication A3:  
14.05.2003 Bulletin 2003/20

(51) Int Cl.7: A61K 7/48, A23G 3/30,  
A23G 3/00

(43) Date of publication A2:  
08.08.2001 Bulletin 2001/32

(21) Application number: 01400266.1

(22) Date of filing: 02.02.2001

(84) Designated Contracting States:  
AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU  
MC NL PT SE TR

Designated Extension States:  
AL LT LV MK RO SI

(30) Priority: 04.02.2000 US 498592

(71) Applicant: Takasago International Corporation  
Tokyo (JP)

(72) Inventors:

- Nakatsu, Tetsuo  
Chappaqua, NY 10514 (US)
- Mazeiko, Peter J.  
Middletown, NY 10940 (US)

- Lupo, Andrew T., Jr.  
Emerson, NJ 07630 (US)
- Green, Carter B.  
Stony Point, NY 10980 (US)
- Manley, Charles H.  
Ringwood, NJ 07456 (US)
- Spence, David J.  
Ridgefield Park, NJ 07660 (US)
- Ohta, Hideaki, c/o Takasago International Corp.  
Hiratsuka-shi, Kanagawa 254-0073 (US)

(74) Representative: Uchida, Kenji et al  
S.A. Fedit-Loriot et Autres Conseils en Propriété  
Industrielle,  
38, avenue Hoche  
75008 Paris (FR)

### (54) A composition causing different skin sensations

(57) The present invention is directed to a sensate composition including at least one cooling sensate, warming sensate and tingling sensate. The tingling sensate is at least one of Jambu Oleoresin and Spilanthol.

The present invention is further directed to a method of using the sensate composition in a food, pharmaceutical or personal care product.

EP 1 121 927 A3



European Patent  
Office

## PARTIAL EUROPEAN SEARCH REPORT

Application Number

which under Rule 45 of the European Patent Convention EP 01 40 0266  
shall be considered, for the purposes of subsequent  
proceedings, as the European search report

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
X	GB 1 438 205 A (LION DENTIFRICE CO LTD) 3 June 1976 (1976-06-03) * page 1, line 23 - line 29 * * page 4; examples * * claims 1,2,6-11 * * page 1, line 45 - line 50 * * page 3 *	1-12	A61K7/48 A23G3/30 A23G3/00
X	CA 1 133 831 A (BANIK GITAN) 19 October 1982 (1982-10-19) * page 1, line 22 - line 25 * * page 2, line 12 - line 14 * * page 3; table 1 * * claims *	1-12 -/-	A61K A23G
INCOMPLETE SEARCH			
The Search Division considers that the present application, or one or more of its claims, does/do not comply with the EPC to such an extent that a meaningful search into the state of the art cannot be carried out, or can only be carried out partially, for these claims.			
Claims searched completely :			
Claims searched incompletely :			
Claims not searched :			
Reason for the limitation of the search: see sheet C			
Place of search	Date of completion of the search	Examiner	
BERLIN	20 March 2003	Pelli Wablat, B	
CATEGORY OF CITED DOCUMENTS			
X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document			
T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons & : member of the same patent family, corresponding document			



Claim(s) searched incompletely:  
1-15

Reason for the limitation of the search:

Present claims 1-15 relate to a an extremely large number of possible "sensate compositions" (claims 1-10) and to a method of using these "sensate compositions" (claims 11-15) comprising a cooling sensate in combination with a warming sensate and a tingling sensate. Support within the meaning of Article 84 EPC and/or disclosure within the meaning of Article 83 EPC is to be found, however, for only a very small proportion of the compositions claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible.

Furthermore the "sensate compositions" of claims 1-15 are characterised by comprising the (unclear) features "cooling sensate", "warming sensate" and "tingling sensate". These features attempt to define compounds by reference to a desirable property, i.e. creating a certain subjective sensation. However, the sensations to be created are themselves considered as unclear since they are vague relative terms depending on the subjective judgement of a person. Moreover, the differences between "cold, cooling, chilly and fresh", between "heat, warming, burning, scorching, sizzling, baking and searing" and between "tingling, tickly, itchy, scratchy pungent and stinging" in claim 1 is unclear.

The claims presently cover all compositions having these characteristics or properties, whereas the application provides support within the meaning of Article 84 EPC and/or disclosure within the meaning of Article 83 EPC for only a very limited number of such compositions. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible.

Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely the "sensate compositions" prepared using the "cooling sensates" mentioned in claim 5 in combination with the "warming sensates" mentioned in claim 6 and the "tingling sensates" mentioned in claim 7 (see also page 8, line 18 to page 9, line 13).



Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (Int.Cl.7)
			TECHNICAL FIELDS SEARCHED (Int.Cl.7)
X	EP 0 452 273 A (WARNER LAMBERT CO) 16 October 1991 (1991-10-16) * page 3, line 9 - line 11 * * page 3, line 16 * * page 3, line 29 - line 34 * * page 7, line 6-12 * * page 7, line 16 * * page 7, line 16 * * page 7, line 41 - line 48 * * page 7, line 52 - line 57 * * claims 1,2,5-12 *	1-12,14, 15	
X	US 4 374 824 A (WAHMI HAKEEM V R) 22 February 1983 (1983-02-22) * column 1, line 31 - line 42 * * claims; examples * * column 3, line 5 - line 6 *	1,5-7, 9-12	TECHNICAL FIELDS SEARCHED (Int.Cl.7)
A	GB 2 098 476 A (COLGATE PALMOLIVE CO) 24 November 1982 (1982-11-24) * claims; examples *	1-15	
A	US 3 720 762 A (IIOKA I ET AL) 13 March 1973 (1973-03-13) * column 1, line 19 - line 24 * * column 1, line 53 - line 55 * * column 2, line 33 - line 47 * * column 5; example 9 * * claims *	1-15	
A,D	US 5 545 424 A (GREEN CARTER B ET AL) 13 August 1996 (1996-08-13) * column 4, line 34 - line 52 *	1-15	

ANNEX TO THE EUROPEAN SEARCH REPORT  
ON EUROPEAN PATENT APPLICATION NO.

EP 01 40 0266

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

20-03-2003

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
GB 1438205	A	03-06-1976	NONE			
CA 1133831	A	19-10-1982	CA	1133831 A1		19-10-1982
EP 0452273	A	16-10-1991	US	5035882 A		30-07-1991
			AU	7429291 A		17-10-1991
			CA	2040284 A1		13-10-1991
			DE	69103569 D1		29-09-1994
			EP	0452273 A1		16-10-1991
			FI	911726 A		13-10-1991
			IE	911226 A1		23-10-1991
			JP	4234808 A		24-08-1992
			NO	911410 A		14-10-1991
			PT	97309 A		31-12-1991
			ZA	9102716 A		29-01-1992
US 4374824	A	22-02-1983	NONE			
GB 2098476	A	24-11-1982	AR	229266 A1		15-07-1983
			CA	1183457 A1		05-03-1985
			DE	3217379 A1		03-02-1983
			FR	2505654 A1		19-11-1982
			IT	1148167 B		26-11-1986
			JP	2039485 B		05-09-1990
			JP	57192311 A		26-11-1982
			MY	92787 A		31-12-1987
			PH	17570 A		01-10-1984
			US	4423030 A		27-12-1983
US 3720762	A	13-03-1973	JP	48043870 B		21-12-1973
			JP	50007138 B		22-03-1975
US 5545424	A	13-08-1996	JP	3184075 B2		09-07-2001
			JP	8225564 A		03-09-1996
			US	5753609 A		19-05-1998

EPO FORM P0459

For more details about this annex : see Official Journal of the European Patent Office, No. 12/82